ABSTRACT

A process is described for synthesizing aminoarylboronic esters of the general formula

$$R-Ar$$
 R_{3}
 $B(OR_{1})_{2}$

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wherein R, R_2 , and R_3 are each an alkyl, aryl, vinyl, alkoxy, carboxylic esters, amides, or halogen; Ar is any variety of phenyl, naphthyl, anthracyl, heteroaryl; and R_1 is alkyl, hydrogen, or aryl. The aminoarylboronic esters are produced via the metal-catalyzed coupling of arylboronic esters of the general formula

wherein R and R₁ are any non-interfering group and X is chloro, bromo, iodo, triflates, or nonaflates to amines (primary and secondary). In particular, a process is described for the synthesis of the aminoarylboronic esters via a step-wise or tandem process in which one catalytic event is a metal-catalyzed borylation and the other catalytic event is a metal-catalyzed amination.